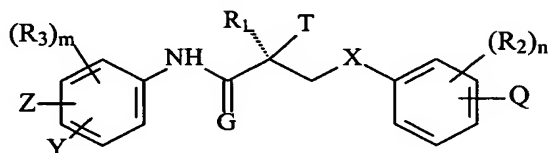


WHAT IS CLAIMED IS:

1. A selective androgen receptor modulator
(SARM) compound represented by the structure of
formula I:



I

X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

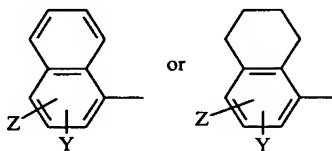
T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃, SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:



Z is NO₂, CN, COR, COOH, or CONHR;

Y is CF₃, F, Br, Cl, I, CN, or SnR₃;

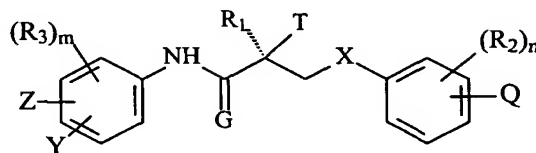
Q is N₃ or NHCOCH₂Hal;

Hal is halogen;

n is an integer of 1-4; and

m is an integer of 1-3.

2. A selective androgen receptor modulator
(SARM) compound represented by the structure of
formula I:



I

X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR;

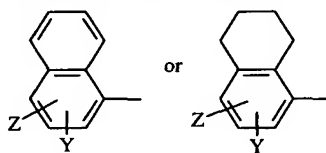
R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃,
CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃,
NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃, SnR₃, or

R₃ together with the benzene ring to which it is attached forms a fused
ring system represented by the structure:



Z is NO₂, CN, COR, COOH, or CONHR;

Y is CF₃, F, Br, Cl, I, CN, or SnR₃;

Q is N₃ or NHCOCH₂Hal;

Hal is halogen;

n is an integer of 1-4; and

m is an integer of 1-3;

or its analog, isomer, metabolite, derivative, pharmaceutically acceptable salt, pharmaceutical product, N-oxide, hydrate or any combination thereof.

5

3. The compound according to claim 1,
wherein G is O.

4. The compound according to claim 1,
wherein T is OH.

10 5. The compound according to claim 1,
wherein R₁ is CH₃.

6. The compound according to claim 1,
wherein X is O.

15 7. The compound according to claim 1,
wherein Z is NO₂.

8. The compound according to claim 1,
wherein Z is CN.

9. The compound according to claim 1,
wherein Y is CF₃.

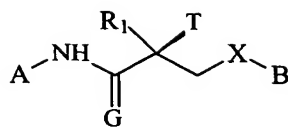
20 10. The compound according to claim 1,
wherein Q is NHCOCH₂Cl.

11. The compound according to claim 1,
wherein Q is NHCOCH₂Cl.

25 12. The compound according to claim 1,
wherein Q is N₃.

13. The compound according to claim 1,
wherein said compound is an alkylating agent.

30 14. A selective androgen receptor modulator
(SARM) compound represented by the structure of
formula II:



II

wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

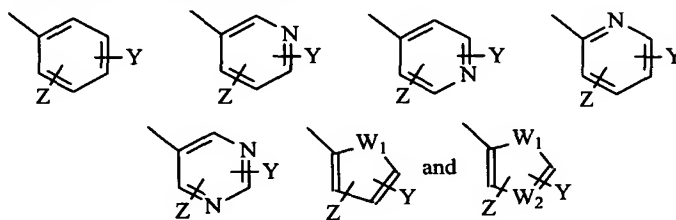
G is O or S;

5 R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

T is OH, OR, -NHCOCH₃, or NHCOR;

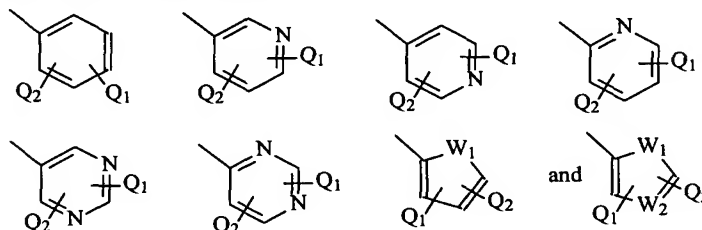
R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:



10

B is a ring selected from:



wherein A and B cannot simultaneously be a benzene ring;

Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

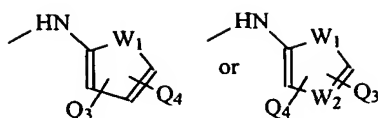
15 Y is CF₃, F, I, Br, Cl, CN CR₃ or SnR₃;

Q₁ is N₃ or NHCOCH₂Hal;

Hal is halogen;

Q₂ is a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCH₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,

20

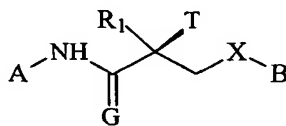


Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF₃, CN, CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR, NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

W₁ is O, NH, NR, NO or S; and

W₂ is N or NO.

15. A selective androgen receptor modulator (SARM) compound represented by the structure of formula II:



II

wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

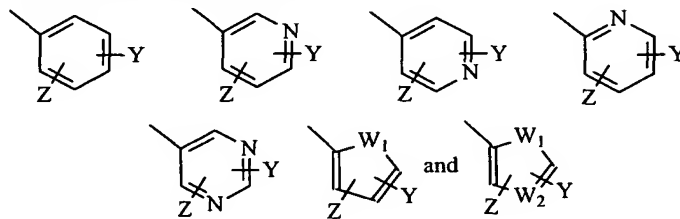
G is O or S;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

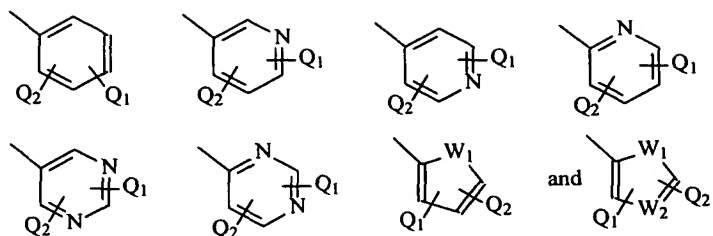
T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:



B is a ring selected from:



wherein A and B cannot simultaneously be a benzene ring;

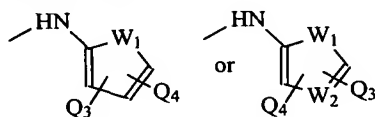
Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN CR₃ or SnR₃;

Q₁ is N₃ or NHCOCH₂Hal;

Hal is halogen;

Q₂ is a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,



Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

W₁ is O, NH, NR, NO or S; and

W₂ is N or NO;

or its analog, isomer, metabolite, derivative, pharmaceutically acceptable salt, pharmaceutical product, N-oxide, hydrate or any combination thereof.

16. The compound according to claim 14, wherein G is O.

17. The compound according to claim 14, wherein T is OH.

18. The compound according to claim 14, wherein R₁ is CH₃.

19. The compound according to claim 14,
wherein X is O.

20. The compound according to claim 14,
wherein Z is NO₂.

5 21. The compound according to claim 14,
wherein Z is CN.

22. The compound according to claim 14,
wherein Y is CF₃.

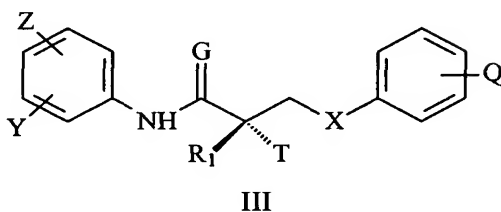
23. The compound according to claim 14,
10 wherein Q₁ is NHCOCH₂Cl.

24. The compound according to claim 14,
wherein Q₁ is NHCOCH₂Cl.

25. The compound according to claim 14,
wherein Q₁ is N₃.

15 26. The compound according to claim 14,
wherein said compound is an alkylating agent.

27. A selective androgen receptor modulator
(SARM) compound represented by the structure of
20 formula III:



wherein X is a bond, O, CH₂, NH, S, Se, PR, NO or NR;

G is O or S;

25 T is OH, OR, -NHCOCH₃, or NHCOR

Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

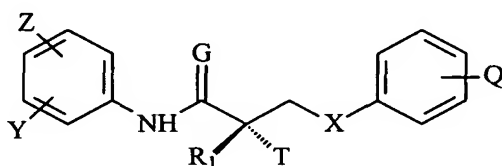
Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

Q is N₃ or NHCOCH₂Hal;

Hal is halogen;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH_2F , CHF_2 , CF_3 , CF_2CF_3 , aryl, phenyl, halogen, alkenyl or OH; and
 R_1 is CH_3 , CH_2F , CHF_2 , CF_3 , CH_2CH_3 , or CF_2CF_3 .

- 5 28. A selective androgen receptor modulator
 (SARM) compound represented by the structure of
 formula III:



III

- 10 wherein X is a bond, O, CH_2 , NH, S, Se, PR, NO or NR;
 G is O or S;
 T is OH, OR, $-\text{NHCOCH}_3$, or NHCOR
 Z is NO_2 , CN, COOH, COR, NHCOR or CONHR ;
 Y is CF_3 , F, I, Br, Cl, CN, CR_3 or SnR_3 ;
 15 Q is N_3 or $\text{NHCOCH}_2\text{Hal}$;
 Hal is halogen;
 R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH_2F , CHF_2 , CF_3 , CF_2CF_3 , aryl, phenyl, halogen, alkenyl or OH; and
 R_1 is CH_3 , CH_2F , CHF_2 , CF_3 , CH_2CH_3 , or CF_2CF_3 ;
 20 or its analog, isomer, metabolite, derivative, pharmaceutically acceptable salt, pharmaceutical product, N-oxide, hydrate or any combination thereof.

29. The compound according to claim 27,
 wherein G is O.

25 30. The compound according to claim 27,
 wherein T is OH.

31. The compound according to claim 27,
 wherein R_1 is CH_3 .

32. The compound according to claim 27,
 30 wherein X is O.

33. The compound according to claim 27,
wherein Z is NO₂.

34. The compound according to claim 27,
wherein Z is CN.

5 35. The compound according to claim 27,
wherein Y is CF₃.

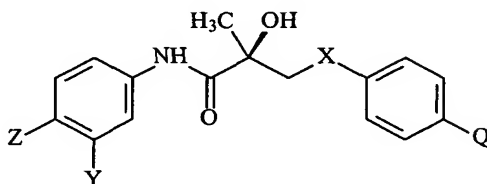
36. The compound according to claim 27,
wherein Q is NHCOCH₂Cl.

10 37. The compound according to claim 27,
wherein Q is NHCOCH₂Cl.

38. The compound according to claim 27,
wherein Q is N₃.

39. The compound according to claim 27,
wherein said compound is an alkylating agent.

15 40. The compound according to claim 27,
represented by the structure of formula IV:



IV

20

41. A composition comprising the selective
androgen receptor modulator compound of claim 1,
14, 27 or 40 and/or its analog, derivative, isomer,
metabolite, pharmaceutically acceptable salt,
25 pharmaceutical product, hydrate or N-oxide or any
combination thereof; and a suitable carrier or
diluent.

42. A pharmaceutical composition comprising
an effective amount of the selective androgen

receptor modulator compound of claim 1, 14, 27 or
40 and/or its analog, derivative, isomer, metabolite,
pharmaceutically acceptable salt, pharmaceutical
product, hydrate or N-oxide or any combination
thereof; and a pharmaceutically acceptable carrier,
diluent or salt.

43. A method of suppressing spermatogenesis
in a subject comprising administering to said subject
with the selective androgen receptor modulator
compound of claim 1, 14, 27 or 40 and/or its analog,
derivative, isomer, metabolite, pharmaceutically
acceptable salt, pharmaceutical product, hydrate or
N-oxide or any combination thereof, in an amount
effective to suppress sperm production.

44. A method of contraception in a male
subject, comprising the step of administering to said
subject the selective androgen receptor modulator
compound of claim 1, 14, 27 or 40 and/or its analog,
derivative, isomer, metabolite, pharmaceutically
acceptable salt, pharmaceutical product, hydrate or
N-oxide or any combination thereof, in an amount
effective to suppress sperm production in said
subject, thereby effecting contraception in said
subject.

45. A method of hormone therapy comprising
the step of administering to said subject the selective
androgen receptor modulator compound of claim 1,
14, 27 or 40 and/or its analog, derivative, isomer,
metabolite, pharmaceutically acceptable salt,
pharmaceutical product, hydrate or N-oxide or any
combination thereof, in an amount effective to effect
a change in an androgen-dependent condition.

46. A method of hormone replacement therapy comprising the step of administering to said subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative,
5 isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to effect a change in an androgen-dependent condition.

47. A method of preventing prostate cancer in a
10 subject, comprising the step of administering to said subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or
15 N-oxide or any combination thereof, in an amount effective to prevent prostate cancer in said subject.

48. A method of treating a subject having a hormone related condition, comprising the step of administering to said subject the selective androgen
20 receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to effect a change in
25 an androgen-dependent condition.

49. A method of treating a subject suffering from prostate cancer, comprising the step of administering to said subject the selective androgen
30 receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination

thereof, in an amount effective to treat prostate cancer in said subject.

50. A method of delaying the progression of prostate cancer in a subject suffering from prostate cancer, comprising the step of administering to said
5 subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or
10 N-oxide or any combination thereof, in an amount effective to delay the progression of prostate cancer in said subject.

51. A method of preventing the recurrence of prostate cancer in a subject suffering from prostate cancer, comprising the step of administering to said
15 subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or
20 N-oxide or any combination thereof, in an amount effective to prevent the recurrence of prostate cancer in said subject.

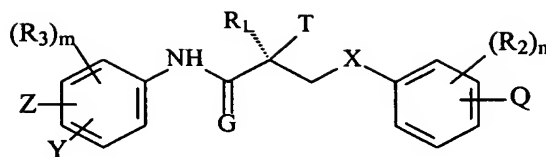
52. A method of treating the recurrence of prostate cancer in a subject suffering from prostate cancer, comprising the step of administering to said
25 subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or
30 N-oxide or any combination thereof, in an amount effective to treat the recurrence of prostate cancer in said subject.

53. A method of treating a dry eye condition in a subject suffering from dry eyes, comprising the step of administering to said subject the selective androgen receptor modulator compound of claim 1,
5 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to treat dry eyes in the subject.

10 54. A method of preventing a dry eye condition in a subject, comprising the step of administering to said subject the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite,
15 pharmaceutically acceptable salt, pharmaceutical product, hydrate or N-oxide or any combination thereof, in an amount effective to prevent dry eyes in the subject.

20 55. A method of inducing apoptosis in a prostate cancer cell, comprising the step of contacting said cell with the selective androgen receptor modulator compound of claim 1, 14, 27 or 40 and/or its analog, derivative, isomer, metabolite, pharmaceutically acceptable salt, pharmaceutical
25 product, hydrate or N-oxide or any combination thereof, in an amount effective to induce apoptosis in said cancer cell.

30 56. A process for preparing a selective androgen receptor modulator (SARM) compound represented by the structure of formula I:



I

wherein X is a O, NH, S, Se, PR, or NR;

G is O or S;

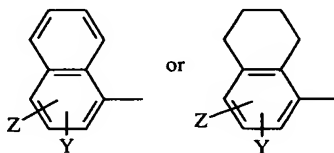
5 T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH;

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

10 R₂ is F, Cl, Br, I, CH₃, CF₃, OH, CN, NO₂, NHCOCH₃, NHCOCF₃, NHCOR, alkyl, arylalkyl, OR, NH₂, NHR, NR₂, SR;

R₃ is F, Cl, Br, I, CN, NO₂, COR, COOH, CONHR, CF₃, SnR₃, or R₃ together with the benzene ring to which it is attached forms a fused ring system represented by the structure:



15

Z is NO₂, CN, COR, COOH, or CONHR;

Y is CF₃, F, Br, Cl, I, CN, or SnR₃;

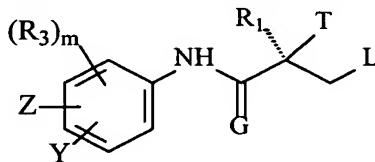
Q is N₃ or NHCOCH₂Hal;

Hal is halogen;

20 n is an integer of 1-4; and

m is an integer of 1-3;

said process comprising the step of coupling a compound of formula VIII:

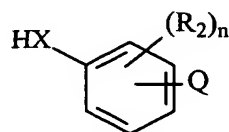


VIII

25

wherein Z, Y, G, R₁, T, R₃ and m are as defined above and L is a leaving group,

with a compound of formula IX:

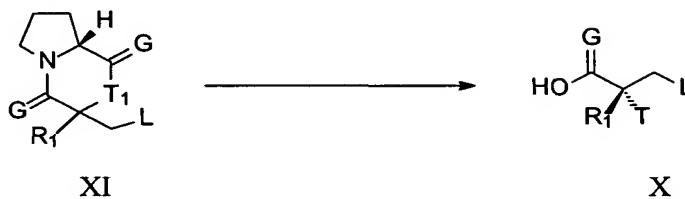


IX

wherein Q, X R₂ and n are as defined above.

57. The process according to claim 56, wherein
the compound of formula VIII is prepared by

a. preparing a compound of
formula X by ring opening of a
cyclic compound of formula XI

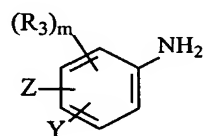


XI

X

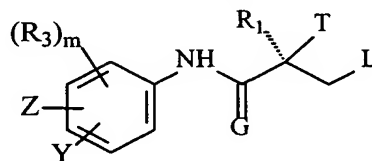
wherein L, R₁, G and T are as defined above, and T₁ is O or NH; and

b. reacting an amine of formula
XII:



XII

wherein Z, Y, R₃ and m are as defined above, with the compound of formula X,
in the presence of a coupling reagent, to produce the compound of formula VIII.

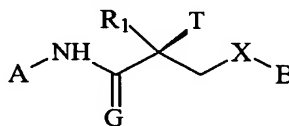


VIII

58. The process according to claim 56, further comprising the step of purifying said compound of formula I using a mixture of ethanol and water.

59. The process according to claim 56, further comprising the step of converting said selective androgen receptor modulator (SARM) compound to its analog, isomer, metabolite, derivative, pharmaceutically acceptable salt, pharmaceutical product, N-oxide, hydrate or any combination thereof.

60. A process for preparing a selective androgen receptor modulator (SARM) compound represented by the structure of formula II:



II

wherein X is O, NH, S, Se, PR, or NR;

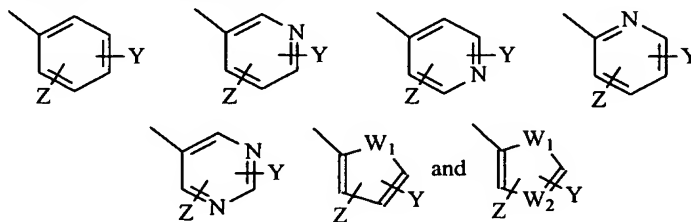
G is O or S;

R_1 is CH_3 , CH_2F , CHF_2 , CF_3 , CH_2CH_3 , or CF_2CF_3 ;

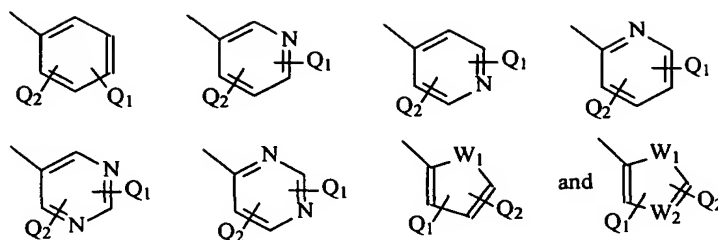
T is OH, OR, -NHCOCH₃, or NHCOR;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH_2F , CHF_2 , CF_3 , CF_2CF_3 , aryl, phenyl, halogen, alkenyl or OH;

A is a ring selected from:



B is a ring selected from:



wherein A and B cannot simultaneously be a benzene ring;

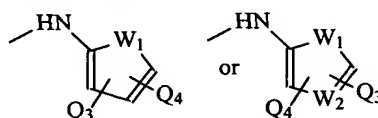
Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN CR₃ or SnR₃;

Q₁ is N₃ or NHCOCH₂Hal;

Hal is halogen;

Q₂ is a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R, SR,

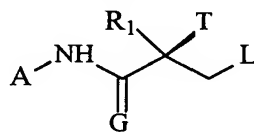


Q₃ and Q₄ are independently of each other a hydrogen, alkyl, halogen, CF₃, CN CR₃, SnR₃, NR₂, NHCOCH₃, NHCOCF₃, NHCOR, NHCONHR, NHCOOR, OCONHR, CONHR, NHCSCH₃, NHCSCF₃, NHCSR NHSO₂CH₃, NHSO₂R, OR, COR, OCOR, OSO₂R, SO₂R or SR;

W₁ is O, NH, NR, NO or S; and

W₂ is N or NO;

said process comprising the step of coupling a compound of formula XIII:



XIII

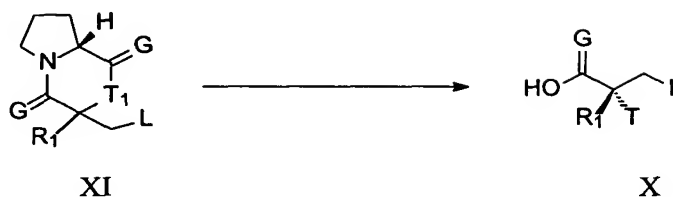
wherein A, G, R₁ and T are as defined above and L is a leaving group, with a compound of formula HX-B wherein B and X are as defined above.

61. The process according to claim 60, wherein the amide of formula XIII is prepared by

a.

preparing a compound of formula X by ring opening of a cyclic compound

of formula XI



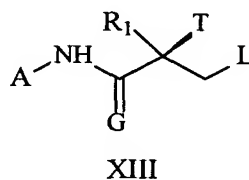
wherein L, R₁, G and T are as defined above, and T₁ is O or NH; and

b. reacting

an amine of formula A-NH₂ wherein A is as defined

above, with

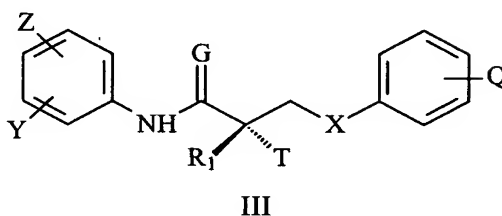
the compound of formula X in the presence of a coupling reagent, to produce the amide of formula XIII.



62. The process according to claim 60, further comprising the step of purifying said compound of formula II using a mixture of ethanol and water

63. The process according to claim 60, further comprising the step of converting said selective androgen receptor modulator (SARM) compound to its analog, isomer, metabolite, derivative, pharmaceutically acceptable salt, pharmaceutical product, N-oxide, hydrate or any combination thereof.

64. A process for preparing a selective androgen receptor modulator (SARM) compound represented by the structure of formula III:



wherein X is O, NH, S, Se, PR or NR;

G is O or S;

T is OH, OR, -NHCOCH₃, or NHCOR

Z is NO₂, CN, COOH, COR, NHCOR or CONHR;

Y is CF₃, F, I, Br, Cl, CN, CR₃ or SnR₃;

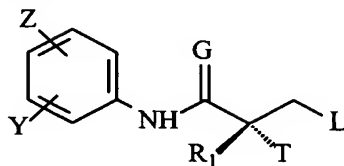
Q₁ is N₃ or NHCOCH₂Hal;

Hal is halogen;

R is alkyl, haloalkyl, dihaloalkyl, trihaloalkyl, CH₂F, CHF₂, CF₃, CF₂CF₃, aryl, phenyl, halogen, alkenyl or OH; and

R₁ is CH₃, CH₂F, CHF₂, CF₃, CH₂CH₃, or CF₂CF₃;

said process comprising the step of coupling a compound of formula XIV:

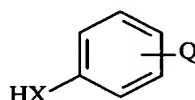


XIV

wherein Z, Y, G, R₁ and T are as defined above and L is a leaving group,

5

with a compound of formula XV:



XV

wherein Q and X are as defined above.

10

65. The process according to claim 64, wherein the compound of formula XIV is prepared by

a.

preparing a

15

compound

formula X by

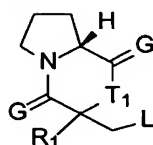
ring opening

of a cyclic

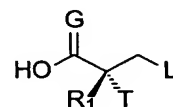
compound

20

of formula XI



XI



X

wherein L, R₁, and T are as defined above, G is O and T₁ is O or NH;

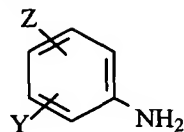
and

25

b. reacting an amine

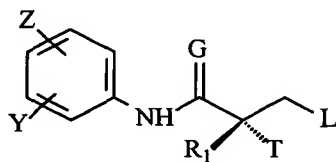
of formula

XVI



XVI

5 with the compound of formula X in the presence of a coupling reagent, to produce the compound of formula XIV.



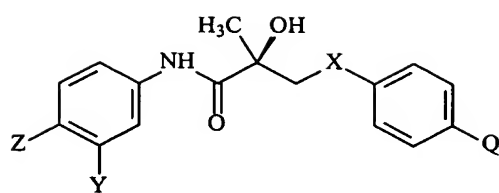
XIV

10

66. The process according to claim 64, further
15 comprising the step of purifying said compound of formula III using a mixture of ethanol and water

67. The process according to claim 64, further
comprising the step of converting said selective
androgen receptor modulator (SARM) compound to
20 its analog, isomer, metabolite, derivative,
pharmaceutically acceptable salt, pharmaceutical
product, N-oxide, hydrate or any combination
thereof.

68. The process according to claim 64, wherein
25 said SARM is represented by the structure of
formula IV:



IV